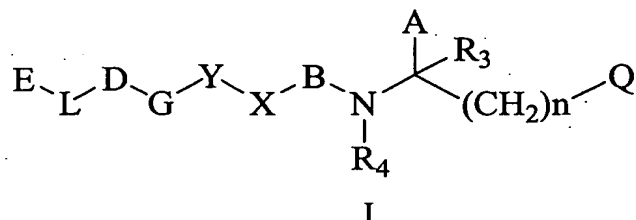


1. A compound according to the formula I:



**E is absent or selected from the group consisting of**

- (1) H,
- (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (3) substituted or unsubstituted C<sub>2</sub>-C<sub>6</sub>-alkenyl,
- (4) substituted or unsubstituted C<sub>2</sub>-C<sub>6</sub>-alkynyl,
- (5) substituted or unsubstituted aryl,
- (6) substituted or unsubstituted heterocyclcyl, and
- (7) substituted or unsubstituted heteroaryl;

- (1) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (2)  $-(NH)_{0-1}-(CH_2)_j-NR^{3L}-(CH_2)_k-$ ,
- (3)  $-(NH)_{0-1}-C(R^{1L}, R^{2L})-NR^{3L}-C(R^{1L}, R^{2L})-$ ,
- (4)  $-C(R^{1L}, R^{2L})-O-C(R^{1L}, R^{2L})-$ ,
- (5)  $-(CH_2)_j-NR^{3L}-C(R^{1L}, R^{2L})-CONH-(CH_2)_k-$ ,
- (6)  $-CO-C(R^{1L}, R^{2L})-NHCO-$ ,
- (7)  $-CONH-$ ,
- (8)  $-NHCO-$ ,

$R^{1L}$ ,  $R^{2L}$ , and  $R^{3L}$  are independently selected from the group consisting of

- (a) H,
- (b) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (c) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with aryl,
- (d) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heterocyclyl, and
- (e) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heteroaryl,

or  $R^{1L}$  and  $R^{3L}$ , together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S,

j is an integer of 0-4;

k is an integer of 0-4;

D is absent or selected from the group consisting of

- (1) substituted or unsubstituted  $C_3$ - $C_8$ -cycloalkyl,
- (2) substituted or unsubstituted aryl,
- (3) substituted or unsubstituted heterocyclyl, and
- (4) substituted or unsubstituted heteroaryl;

G is absent or selected from the group consisting of

- (1)  $-(CH_2)_i-O-(CH_2)_i-$ ,
- (2)  $-(CH_2)_i-S-(CH_2)_i-$ ,
- (3)  $-(CH_2)_i-NR^g-(CH_2)_i-$ ,
- (4)  $-C(=O)-$ ,
- (5)  $-NHC(=O)-$ ,
- (6)  $-C(=O)NH-$ ,
- (7)  $-(CH_2)_iNHCH_2C(=O)NH-$ ,
- (8)  $-C\equiv C-$ ,
- (9)  $-C\equiv C-C\equiv C-$ , and
- (10)  $-C=C-$ ;

wherein

$R^g$  is H or substituted or unsubstituted  $C_1$ - $C_6$ -alkyl;

i is an integer of 0-4;

Y is selected from the group consisting of

- (1) substituted or unsubstituted  $C_3$ - $C_8$ -cycloalkyl,
- (2) substituted or unsubstituted aryl,
- (3) substituted or unsubstituted heterocyclyl, and
- (4) substituted or unsubstituted heteroaryl;

X is selected from the group consisting of

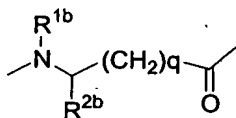
- (1)  $-(C=O)-$ ,
- (2)  $-C_1$ - $C_6$ -alkyl- $-(C=O)-$ ,
- (3)  $-C_2$ - $C_6$ -alkenyl- $-(C=O)-$ ,

(4)  $-\text{C}_2\text{-C}_6\text{-alkynyl-(C=O)-}$ , and

(5)  $-\text{CH}_2-$ ;

or when B is absent, X and A, together with the atoms to which they are attached can form a heterocyclic ring, having from 5 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S;

B is absent or



wherein  $\text{R}^{1b}$  and  $\text{R}^{2b}$ , are independently selected from the group consisting of

- (a) H,
- (b) substituted or unsubstituted  $\text{C}_1\text{-C}_6\text{-alkyl}$ ,
- (c) substituted or unsubstituted  $\text{C}_2\text{-C}_6\text{-alkenyl}$ ,
- (d) substituted or unsubstituted  $\text{C}_2\text{-C}_6\text{-alkynyl}$ ,
- (e) substituted or unsubstituted aryl,
- (f) substituted or unsubstituted heterocyclyl,
- (g) substituted or unsubstituted heteroaryl,
- (h)  $\text{C}_1\text{-C}_6\text{-alkyl}$  substituted with aryl,
- (i)  $\text{C}_1\text{-C}_6\text{-alkyl}$  substituted with heterocyclyl, and
- (j)  $\text{C}_1\text{-C}_6\text{-alkyl}$  substituted with heteroaryl,

or  $\text{R}^{1b}$  and  $\text{R}^{2b}$ , together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S;

q is an integer of 0-4;

$\text{R}_3$  is H or substituted or unsubstituted  $\text{C}_1\text{-C}_6\text{-alkyl}$ ,

or  $\text{R}_3$  and A, together with the atoms to which they are attached can form a substituted or unsubstituted 3-10 membered cycloalkyl or a heterocyclic ring system, wherein the heterocyclic ring system may have from 3 to 10 ring atoms, with 1 to 2 rings being in the ring system and contain from 1-4 heteroatoms selected from N, O and S;

$\text{R}_4$  is H or substituted or unsubstituted  $\text{C}_1\text{-C}_6\text{-alkyl}$ ,

or  $\text{R}_4$  and A, together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S;

n is an integer of 0-2;

A is selected from the group consisting of

- (1) H,
- (2)  $-(CH_2)_rC(R^{1a}, R^{2a})(CH_2)_sOR^{3a}$ ,
- (3)  $-(CH_2)_rC(R^{1a}, R^{2a})N(R^{4a}, R^{5a})$ ,
- (4)  $-(CH_2)_rC(R^{1a}, R^{2a})N(R^{4a})COR^{3a}$ ,
- (5)  $-(CH_2)_rC(R^{1a}, R^{2a})NHCON(R^{4a}, R^{5a})$ ,
- (6)  $-(CH_2)_rC(R^{1a}, R^{2a})NHC(=NH)N(R^{4a}, R^{5a})$ ,
- (7)  $-CH(R^{1a}, R^{2a})$ ,
- (8)  $-C\equiv CH$ ,
- (9)  $-(CH_2)_rC(R^{1a}, R^{2a})CN$ ,
- (10)  $-(CH_2)_rC(R^{1a}, R^{2a})CO_2R^{3a}$ , and
- (11)  $-(CH_2)_rC(R^{1a}, R^{2a})CN(R^{4a}, R^{5a})$ ,

wherein  $R^{1a}$ ,  $R^{2a}$ ,  $R^{3a}$ ,  $R^{4a}$ , and  $R^{5a}$  are independently selected from the group consisting of

- (a) H,
- (b) substituted or unsubstituted  $C_1$ - $C_6$ -alkyl,
- (c) substituted or unsubstituted aryl,
- (d) substituted or unsubstituted heterocyclyl,
- (e) substituted or unsubstituted heteroaryl,
- (f)  $C_1$ - $C_6$ -alkyl substituted with aryl,
- (g)  $C_1$ - $C_6$ -alkyl substituted with heterocyclyl, and
- (h)  $C_1$ - $C_6$ -alkyl substituted with heteroaryl,

or  $R^{4a}$  and  $R^{5a}$  together with the N atom to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S;

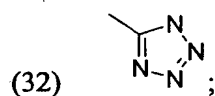
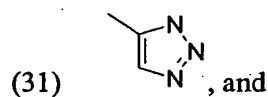
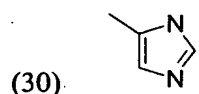
r is an integer of 0-4;

s is an integer of 0-4;

Q is absent or selected from the group consisting of

- (1)  $-C(=O)N(R_1, R_2)$ ,
- (2)  $-NHC(=O)N(R_1, R_2)$ ,
- (3)  $-N(OH)C(=O)N(R_1, R_2)$ ,
- (4)  $-CH(OH)C(=O)N(R_1, R_2)$ ,
- (5)  $-CH[N(R^{2q}, R^{3q})]C(=O)N(R_1, R_2)$ ,
- (6)  $-CHR^{1q}C(=O)N(R_1, R_2)$ ,

- (7)  $-\text{CO}_2\text{H}$ ,
- (8)  $-\text{C}(=\text{O})\text{NHSO}_2\text{R}^{4q}$ ,
- (9)  $-\text{SO}_2\text{NH}_2$ ,
- (10)  $-\text{N}(\text{OH})\text{C}(=\text{O})\text{R}^{1q}$ ,
- (11)  $-\text{N}(\text{OH})\text{SO}_2\text{R}^{4q}$ ,
- (12)  $-\text{NHSO}_2\text{R}^{4q}$ ,
- (13)  $-\text{SH}$ ,
- (14)  $-\text{CH}(\text{SH})(\text{CH}_2)_{0-1}\text{C}(=\text{O})\text{N}(\text{R}_1, \text{R}_2)$ ,
- (15)  $-\text{CH}(\text{SH})(\text{CH}_2)_{0-1}\text{CO}_2\text{H}$ ,
- (16)  $-\text{CH}(\text{OH})(\text{CH}_2)_{0-1}\text{CO}_2\text{H}$ ,
- (17)  $-\text{CH}(\text{SH})\text{CH}_2\text{CO}_2\text{R}^{1q}$ ,
- (18)  $-\text{CH}(\text{OH})(\text{CH}_2)\text{SO}_2\text{NH}_2$ ,
- (19)  $-\text{CH}(\text{CH}_2\text{SH})\text{NHCOR}^{1q}$ ,
- (20)  $-\text{CH}(\text{CH}_2\text{SH})\text{NHSO}_2\text{R}^{4q}$ ,
- (21)  $-\text{CH}(\text{CH}_2\text{SR}^{5q})\text{CO}_2\text{H}$ ,
- (22)  $-\text{CH}(\text{CH}_2\text{SH})\text{NHSO}_2\text{NH}_2$ ,
- (23)  $-\text{CH}(\text{CH}_2\text{OH})\text{CO}_2\text{H}$ ,
- (24)  $-\text{CH}(\text{CH}_2\text{OH})\text{NHSO}_2\text{NH}_2$ ,
- (25)  $-\text{C}(=\text{O})\text{CH}_2\text{CO}_2\text{H}$ ,
- (26)  $-\text{C}(=\text{O})(\text{CH}_2)_{0-1}\text{CONH}_2$ ,
- (27)  $-\text{OSO}_2\text{NHR}^{5q}$ ,
- (28)  $-\text{SO}_2\text{NHNH}_2$ ,
- (29)  $-\text{P}(=\text{O})(\text{OH})_2$ ,



$\text{R}_1$  is selected from the group consisting of

- (1)  $-\text{H}$ ,
- (2)  $-\text{OH}$ ,
- (3)  $-\text{OC}_{1-6}\text{-alkyl}$ ,

- (4)  $-N(R^{2q}, R^{3q})$ , and
- (5) substituted or unsubstituted  $C_{1-6}$ -alkyl;

$R_2$  is selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted  $C_1-C_6$ -alkyl,
- (3) substituted or unsubstituted  $C_2-C_6$ -alkenyl,
- (4) substituted or unsubstituted  $C_2-C_6$ -alkenyl,
- (5) substituted or unsubstituted aryl,
- (6) substituted or unsubstituted heterocyclyl,
- (7) substituted or unsubstituted heteroaryl,
- (8)  $C_1-C_6$ -alkyl substituted with aryl,
- (9)  $C_1-C_6$ -alkyl substituted with heterocyclyl, and
- (10)  $C_1-C_6$ -alkyl substituted with heteroaryl,

or  $R^1$  and  $R^2$ , together with the N atom to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 10 ring atoms, wherein 1-4 ring atoms of the heterocyclic ring system are selected from N, O and S,

$R^{1q}, R^{2q}, R^{3q}, R^{4q}$ , and  $R^{5q}$  are selected from H or  $C_1-C_6$  alkyl,

wherein B is absent, or E, L, G, and B are absent, or E, L, and G are absent, or E, L, and B are absent, or E, L, D, G, and B are absent.

2. A compound of claim 1, wherein

wherein

E is absent or selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted  $C_1-C_6$ -alkyl,
- (3) substituted or unsubstituted aryl,
- (4) substituted or unsubstituted heterocyclyl, and
- (5) substituted or unsubstituted heteroaryl;

L is absent or selected from the group consisting of

- (1)  $-(CH_2)_j-NR^{3L}-(CH_2)_k-$ ,
- (2)  $-C(R^{1L}, R^{2L})_j-NR^{3L}-C(R^{1L}, R^{2L})_k-$ ,
- (3)  $-C(R^{1L}, R^{2L})_j-O-C(R^{1L}, R^{2L})_k-$ ,
- (4)  $-(CH_2)_j-NR^{3L}-C(R^{1L}, R^{2L})_k-CONH-(CH_2)_k-$ ,
- (5)  $-CO-C(R^{1L}, R^{2L})-NHCO-$ ,

(6)  $-\text{CONH}-$ , and

(7)  $-\text{NHCO}-$ ,

wherein

$\text{R}^{1\text{L}}$ ,  $\text{R}^{2\text{L}}$ ,  $\text{R}^{3\text{L}}$  are independently selected from the group consisting of

- (a) H,
- (b) substituted or unsubstituted  $\text{C}_1\text{-C}_6\text{-alkyl}$ ,
- (c)  $\text{C}_1\text{-C}_6\text{-alkyl}$  substituted with aryl,
- (d)  $\text{C}_1\text{-C}_6\text{-alkyl}$  substituted with heterocyclyl,
- (e)  $\text{C}_1\text{-C}_6\text{-alkyl}$  substituted with heteroaryl,

or  $\text{R}^{1\text{L}}$  and  $\text{R}^{3\text{L}}$ , together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 5 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S;

j is an integer of 0-4;

k is an integer of 0-4;

D is absent or selected from the group consisting of

- (1) substituted or unsubstituted  $\text{C}_3\text{-C}_8\text{-cycloalkyl}$ ,
- (2) substituted or unsubstituted aryl,
- (3) substituted or unsubstituted heterocyclyl,
- (4) substituted or unsubstituted heteroaryl, and

G is absent or selected from the group consisting of

- (1)  $-\text{C}(=\text{O})-$ ,
- (2)  $-\text{NHC}(=\text{O})-$ ,
- (3)  $-\text{C}(=\text{O})\text{NH}-$ ,
- (4)  $-(\text{CH}_2)_i\text{NHCH}_2\text{C}(=\text{O})\text{NH}-$ ,
- (5)  $-\text{C}\equiv\text{C}-$ , and
- (6)  $-\text{C}\equiv\text{C}-\text{C}\equiv\text{C}-$ ,

wherein i is an integer of 0-4;

Y is selected from the group consisting of

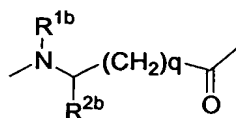
- (1) substituted or unsubstituted  $\text{C}_3\text{-C}_8\text{-cycloalkyl}$ ,
- (2) substituted or unsubstituted aryl,
- (3) substituted or unsubstituted heterocyclyl, and
- (4) substituted or unsubstituted heteroaryl;

X is selected from the group consisting of

- (1)  $-(C=O)-$ ,
- (2)  $-C_1-C_6\text{-alkyl}-(C=O)-$ ,
- (3)  $-C_2-C_6\text{-alkenyl}-(C=O)-$ ,
- (4)  $-C_2-C_6\text{-alkynyl}-(C=O)-$ , and
- (5)  $-CH_2-$ ;

or when B is absent, X and A, together with the atoms to which they are attached can form a heterocyclic ring, having from 5 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S;

B is absent or



wherein  $R^{1b}$  and  $R^{2b}$  are independently selected from the group consisting of

- (a) H
- (b) substituted or unsubstituted  $C_1-C_6$ -alkyl,
- (c) substituted or unsubstituted  $C_2-C_6$ -alkenyl,
- (d) substituted or unsubstituted  $C_2-C_6$ -alkenyl,
- (e) substituted or unsubstituted aryl,
- (f) substituted or unsubstituted heterocyclyl,
- (g) substituted or unsubstituted heteroaryl,
- (h)  $C_1-C_6$ -alkyl substituted with aryl,
- (i)  $C_1-C_6$ -alkyl substituted with heterocyclyl, and
- (j)  $C_1-C_6$ -alkyl substituted with heteroaryl,

or  $R^{1b}$  and  $R^{2b}$ , together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 5 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S;

q is an integer of 0-2;

$R_3$  is H or substituted or unsubstituted  $C_1-C_6$ -alkyl,

or  $R_3$  and A, together with the atoms to which they are attached can form a substituted or unsubstituted 3-10 membered cycloalkyl or a heterocyclic ring system, wherein the heterocyclic ring system may have from 3 to 10 ring atoms, with 1 to 2 rings being in the ring system and contain from 1-4 heteroatoms selected from N, O and S;

$R_4$  is H or substituted or unsubstituted  $C_1-C_6$ -alkyl,



or R<sub>4</sub> and A, together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 5 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S;

A is selected from the group consisting of

- (1) H,
- (2)  $-(CH_2)_rC(R^{1a}, R^{2a})(CH_2)_sOR^{3a}$ ,
- (3)  $-(CH_2)_rC(R^{1a}, R^{2a})N(R^{4a}, R^{5a})$ ,
- (4)  $-(CH_2)_rC(R^{1a}, R^{2a})N(R^{4a})COR^{3a}$ ,
- (5)  $-(CH_2)_rC(R^{1a}, R^{2a})NHCON(R^{4a}, R^{5a})$ ,
- (6)  $-(CH_2)_rC(R^{1a}, R^{2a})NHC(=NH)N(R^{4a}, R^{5a})$ ,
- (7)  $-CH(R^{1a}, R^{2a})$ ,
- (8)  $-C\equiv CH$ ,
- (9)  $-(CH_2)_rC(R^{1a}, R^{2a})CN$ , and
- (10)  $-(CH_2)_rC(R^{1a}, R^{2a})CO_2R^{3a}$ ,

wherein R<sup>1a</sup>, R<sup>2a</sup>, R<sup>3a</sup>, R<sup>4a</sup>, and R<sup>5a</sup>, are independently selected from the group consisting of

- (a) H,
- (b) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (c) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with aryl,
- (d) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heterocyclyl, and
- (e) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heteroaryl,

or R<sup>4a</sup> and R<sup>5a</sup>, together with the N atom to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 5 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S;

r is an integer of 0-4;

Q is absent or selected from the group consisting of

- (1)  $-C(=O)N(R_1, R_2)$ ,
- (2)  $-NHC(=O)N(R_1, R_2)$ ,
- (3)  $-N(OH)C(=O)N(R_1, R_2)$ ,
- (4)  $-CH(OH)C(=O)N(R_1, R_2)$ ,
- (5)  $-CH[N(R^{2q}, R^{3q})]C(=O)N(R_1, R_2)$ , and
- (6)  $-CHR^{1q}C(=O)N(R_1, R_2)$ ,

R<sub>1</sub> is selected from the group consisting of

- (1) H,

- (2) OH,
- (3) OC<sub>1-6</sub>-alkyl,
- (4) N(R<sup>2q</sup>, R<sup>3q</sup>), and
- (5) substituted or unsubstituted C<sub>1-6</sub>-alkyl;

R<sub>2</sub> is selected from the group consisting of

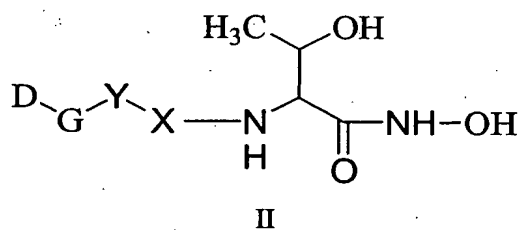
- (1) H,
- (2) substituted or unsubstituted C<sub>1-6</sub>-alkyl,
- (3) substituted or unsubstituted aryl,
- (4) substituted or unsubstituted heterocyclyl,
- (5) substituted or unsubstituted heteroaryl,
- (6) C<sub>1-6</sub>-alkyl substituted with aryl,
- (7) C<sub>1-6</sub>-alkyl substituted with heterocyclyl, and
- (8) C<sub>1-6</sub>-alkyl substituted with heteroaryl,

or R<sup>1</sup> and R<sup>2</sup>, together with the N atom to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 10 ring atoms, wherein 1-4 ring atoms of the heterocyclic ring system are selected from N, O and S,

R<sup>1q</sup>, R<sup>2q</sup>, and R<sup>3q</sup> are selected from H or C<sub>1-6</sub> alkyl,

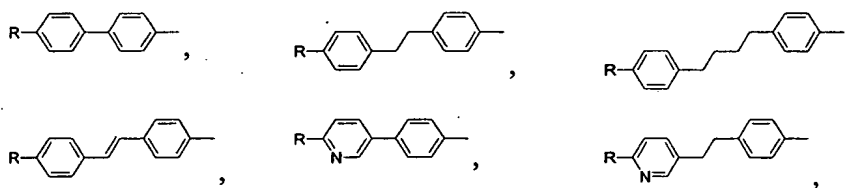
wherein B is absent, or E, L, G, and B are absent, or E, L, and G are absent, or E, L, and B are absent, or E, L, D, G, and B are absent.

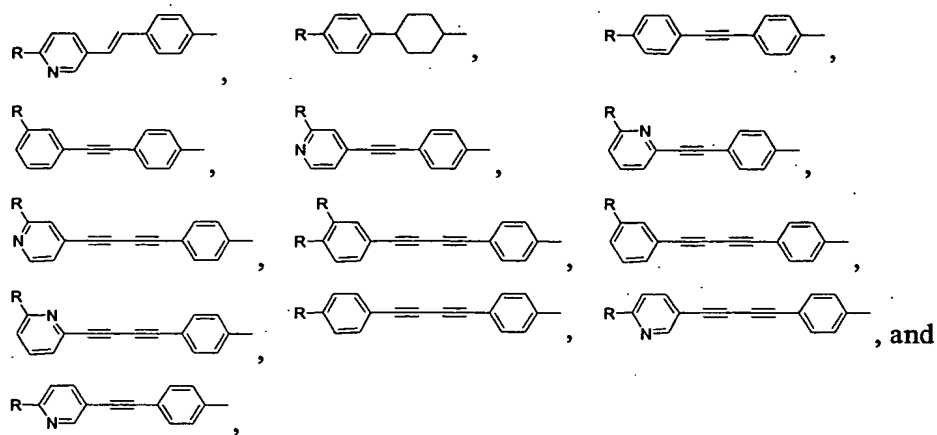
3. A compound of claim 1, having the formula II:



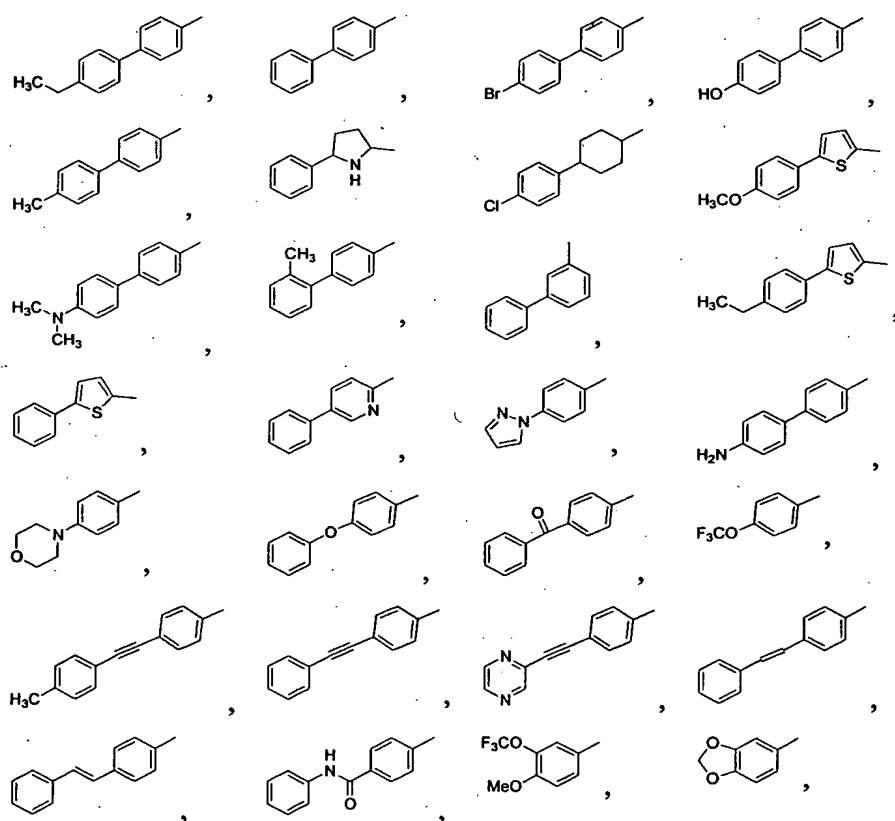
or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein

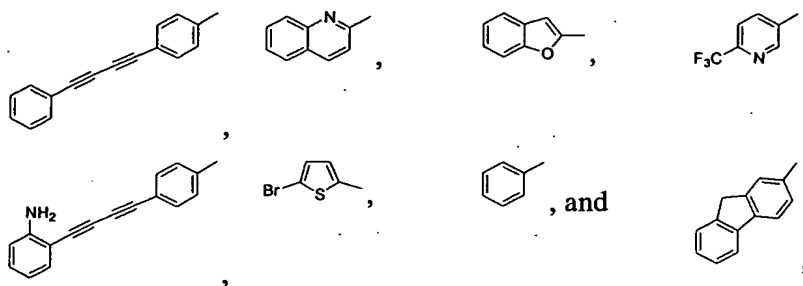
D-G-Y taken together, is selected from the group consisting of





OT





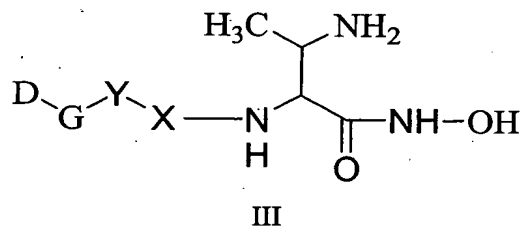
wherein

R is selected from the group consisting of  $-\text{CH}_3$ ,  $-\text{C}_2\text{H}_5$ ,  $-\text{CH}_2\text{OH}$ ,  $-\text{OH}$ ,  $-\text{OCH}_3$ ,  $-\text{OC}_2\text{H}_5$ ,  $-\text{OCF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{CO}_2\text{CH}_3$ ,  $-\text{CONH}_2$ ,  $-\text{NH}_2$ ,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{CF}_3$ ,  $-\text{N}(\text{CH}_3)_2$ ,  $-\text{NHSO}_2\text{CH}_3$ , and  $-\text{NHCOCH}_3$ ;

X is selected from the group consisting of

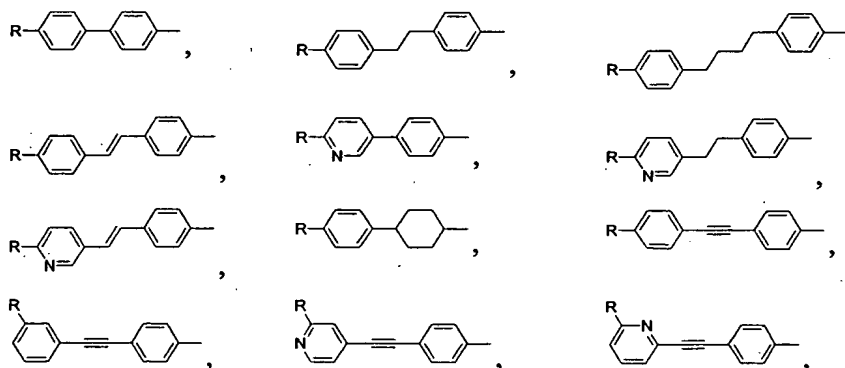
- (1)  $-(\text{C}=\text{O})-$ ,
- (2)  $-\text{C}_1-\text{C}_6\text{-alkyl}-(\text{C}=\text{O})-$ , and
- (2)  $-\text{C}_2-\text{C}_6\text{-alkenyl}-(\text{C}=\text{O})-$ .

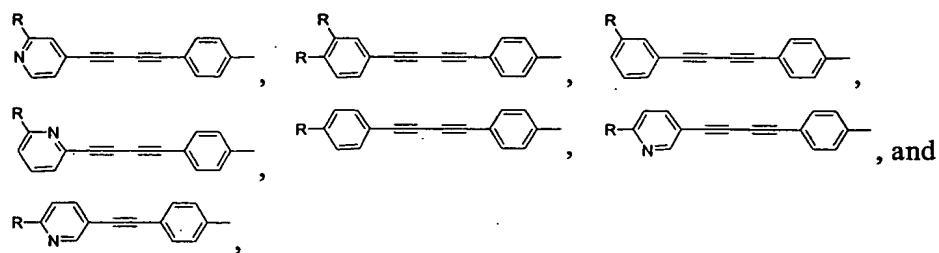
4. A compound of claim I, having the formula III:



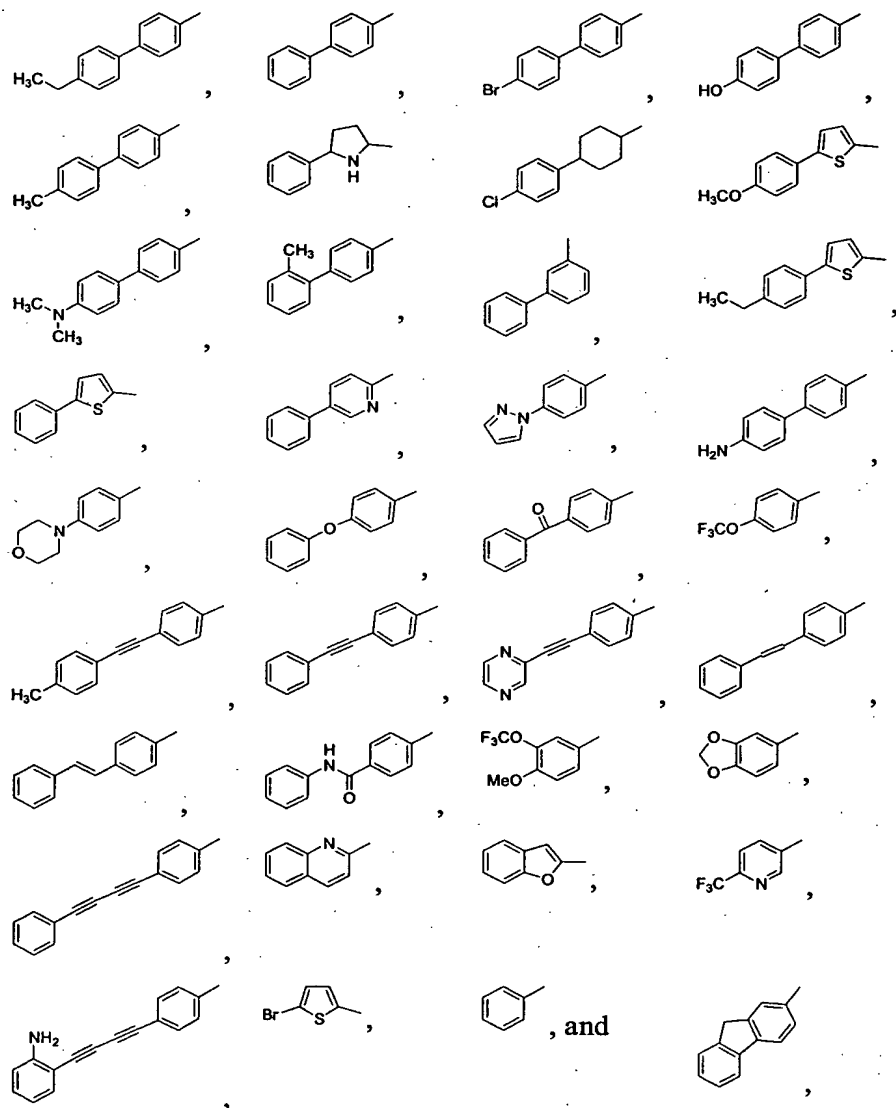
or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein

D-G-Y taken together, is selected from the group consisting of





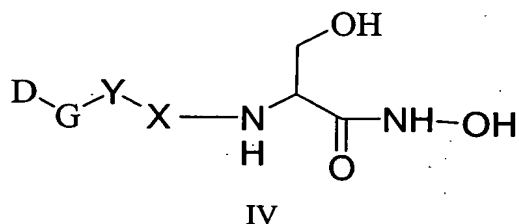
OR

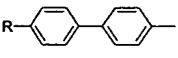
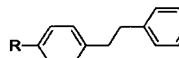



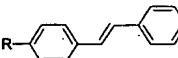
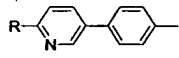
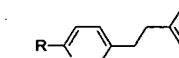
wherein

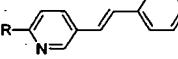
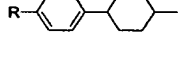
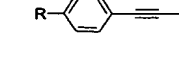
X is selected from the groups consisting of


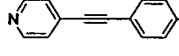
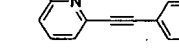
- 5. A compound of claim 1, having the formula IV:**


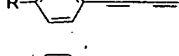
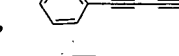


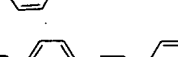






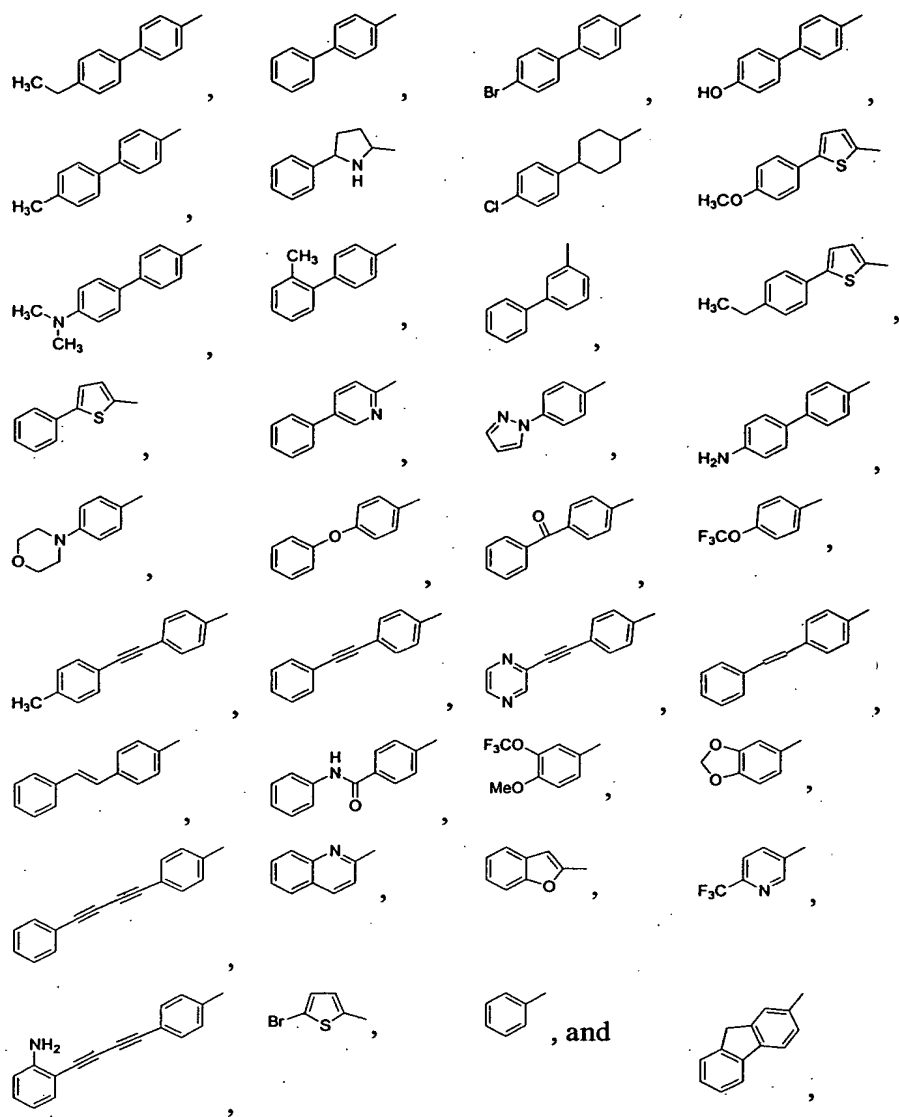




and

or



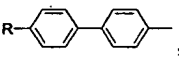
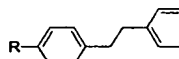

wherein

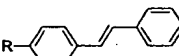
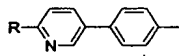
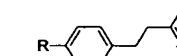
R is selected from the group consisting of  $-\text{CH}_3$ ,  $-\text{C}_2\text{H}_5$ ,  $-\text{CH}_2\text{OH}$ ,  $-\text{OH}$ ,  $-\text{OCH}_3$ ,  $-\text{OC}_2\text{H}_5$ ,  $-\text{OCF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{CO}_2\text{CH}_3$ ,  $-\text{CONH}_2$ ,  $-\text{NH}_2$ ,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{CF}_3$ ,  $-\text{N}(\text{CH}_3)_2$ ,  $-\text{NHSO}_2\text{CH}_3$ , and  $-\text{NHCOCH}_3$ ;

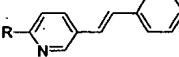
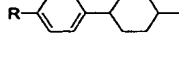
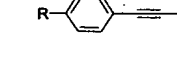
X is selected from the groups consisting of

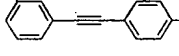
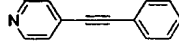
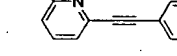
- (1)  $-(\text{C}=\text{O})-$ ,
- (2)  $-\text{C}_1\text{-C}_6\text{-alkyl-(C=O)-}$ , and
- (3)  $-\text{C}_2\text{-C}_6\text{-alkenyl-(C=O)-}$ .


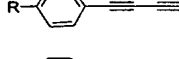
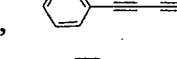
$$\text{D}-\text{G}-\text{Y}-\text{X}-\underset{\text{H}}{\underset{\text{V}}{\text{N}}}-\underset{\text{O}}{\text{C}}(\text{NH}_2)-\text{NH}-\text{OH}$$







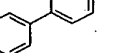
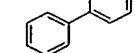

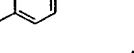




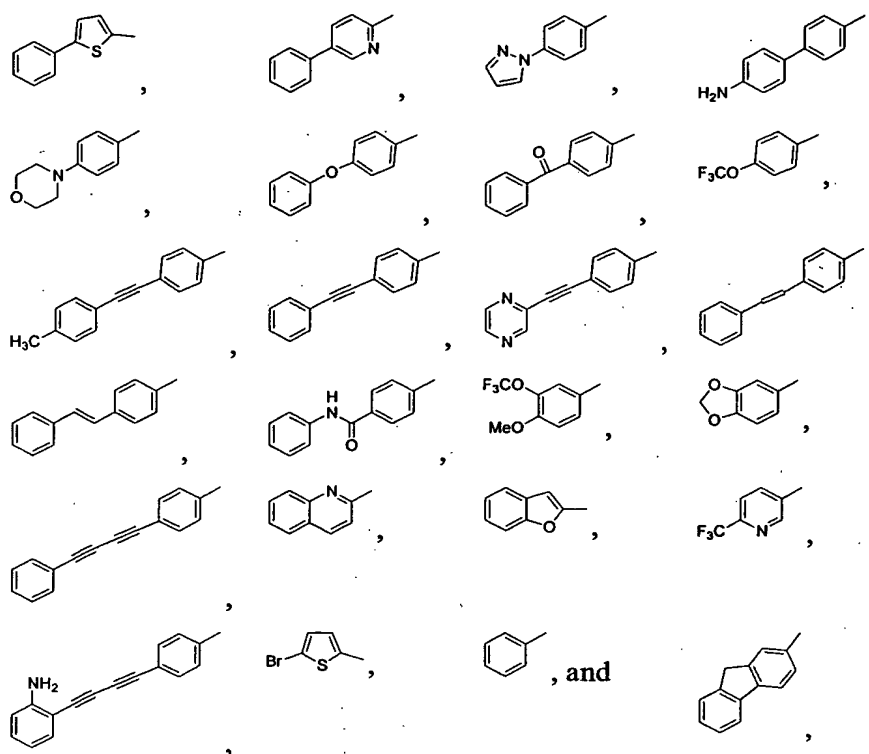








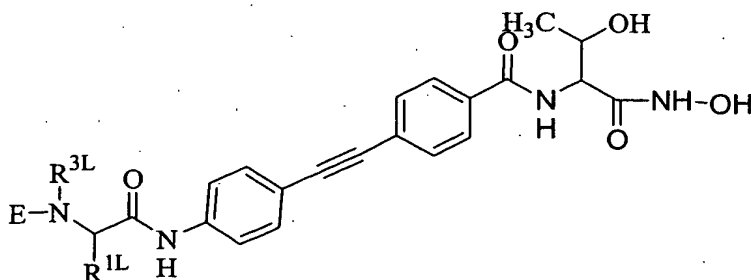
wherein

R is selected from the group consisting of  $-\text{CH}_3$ ,  $-\text{C}_2\text{H}_5$ ,  $-\text{CH}_2\text{OH}$ ,  $-\text{OH}$ ,  $-\text{OCH}_3$ ,  $-\text{OC}_2\text{H}_5$ ,  $-\text{OCF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{CO}_2\text{CH}_3$ ,  $-\text{CONH}_2$ ,  $-\text{NH}_2$ ,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{CF}_3$ ,  $-\text{N}(\text{CH}_3)_2$ ,  $-\text{NHSO}_2\text{CH}_3$ , and  $-\text{NHCOCH}_3$ ;

X is selected from the group consisting of

- (1)  $-(\text{C}=\text{O})-$ ,
- (2)  $-\text{C}_1-\text{C}_6\text{-alkyl}-(\text{C}=\text{O})-$ , and
- (3)  $-\text{C}_2-\text{C}_6\text{-alkenyl}-(\text{C}=\text{O})-$ .

7. A compound of claim 1, having the formula VI:



## VI

or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein

E is absent or selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (3) substituted or unsubstituted aryl,
- (4) substituted or unsubstituted heterocyclyl, and
- (5) substituted or unsubstituted heteroaryl,

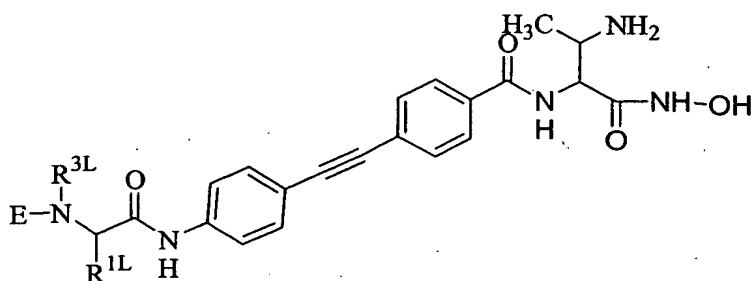
or E and R<sup>3L</sup>, together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 10 ring atoms, wherein 1-4 ring atoms of the heterocyclic ring system are selected from N, O and S,

R<sup>1L</sup>, R<sup>3L</sup> are independently selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (3) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with aryl,
- (4) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heterocyclyl, and
- (5) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heteroaryl,

or R<sup>1L</sup> and R<sup>3L</sup>, together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S.

8. A compound of claim 1, having the formula VII:



VII

or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein

E is absent or selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,

- (3) substituted or unsubstituted aryl,
- (4) substituted or unsubstituted heterocyclyl, and
- (5) substituted or unsubstituted heteroaryl,

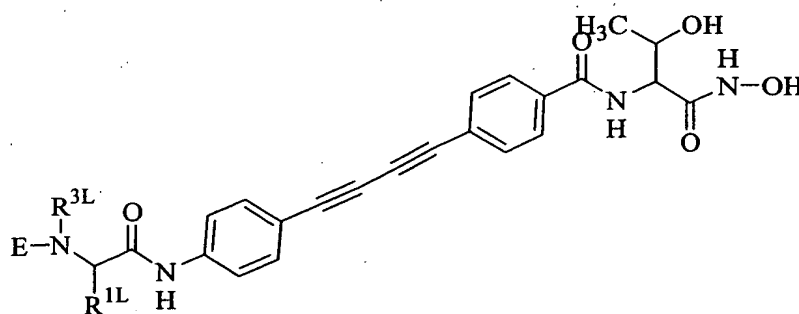
or E and R<sup>3L</sup>, together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 10 ring atoms, wherein 1-4 ring atoms of the heterocyclic ring system are selected from N, O and S;

R<sup>1L</sup>, R<sup>3L</sup> are independently selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (3) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with aryl,
- (4) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heterocyclyl, and
- (5) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heteroaryl,

or R<sup>1L</sup> and R<sup>3L</sup>, together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S.

9. A compound of claim 1, having the formula VIII:



VIII

or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein

E is absent or selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (3) substituted or unsubstituted aryl,
- (4) substituted or unsubstituted heterocyclyl, and
- (5) substituted or unsubstituted heteroaryl,

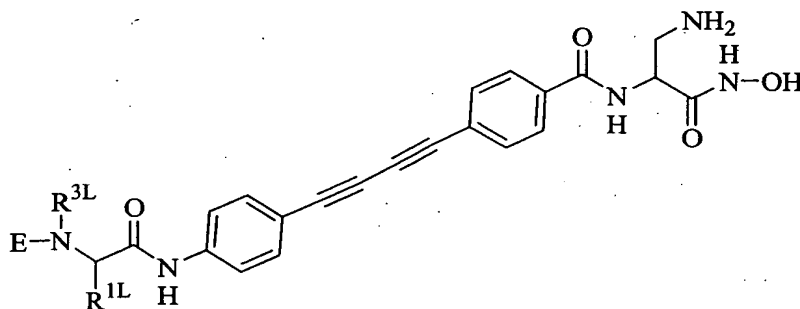
or E and R<sup>3L</sup>, together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 10 ring atoms, wherein 1-4 ring atoms of the heterocyclic ring system are selected from N, O and S;

R<sup>1L</sup>, R<sup>3L</sup> are independently selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (3) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with aryl,
- (4) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heterocyclyl, and
- (5) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heteroaryl,

or R<sup>1L</sup> and R<sup>3L</sup>, together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S.

10. A compound of claim 1, having the formula IX:



IX

or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein

E is absent or selected from the group consisting of

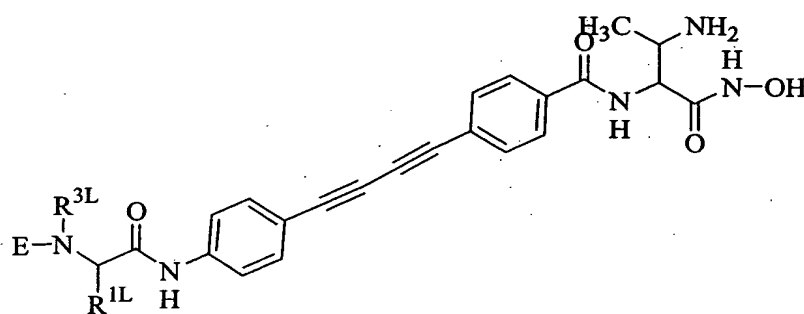
- (1) H,
- (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (3) substituted or unsubstituted aryl,
- (4) substituted or unsubstituted heterocyclyl, and
- (5) substituted or unsubstituted heteroaryl,

or E and R<sup>3L</sup>, together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 10 ring atoms, wherein 1-4 ring atoms of the heterocyclic ring system are selected from N, O and S;

R<sup>1L</sup>, R<sup>3L</sup> are independently selected from the group consisting of

- (1) H,
  - (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
  - (3) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with aryl,
  - (4) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heterocyclyl, and
  - (5) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heteroaryl,
- or R<sup>1L</sup> and R<sup>3L</sup>, together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 8 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S.

11. A compound of claim 1, having the formula X:



X

or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein E is absent or selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (3) substituted or unsubstituted aryl,
- (4) substituted or unsubstituted heterocyclyl, and
- (5) substituted or unsubstituted heteroaryl,

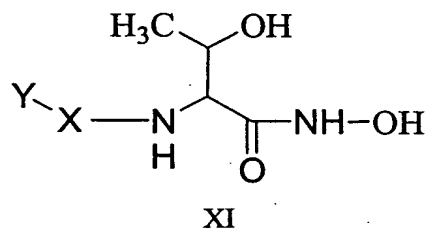
or E and R<sup>3L</sup>, together with the atoms to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 10 ring atoms, wherein 1-4 ring atoms of the heterocyclic ring system are selected from N, O and S;

R<sup>1L</sup>, R<sup>3L</sup> are independently selected from the group consisting of

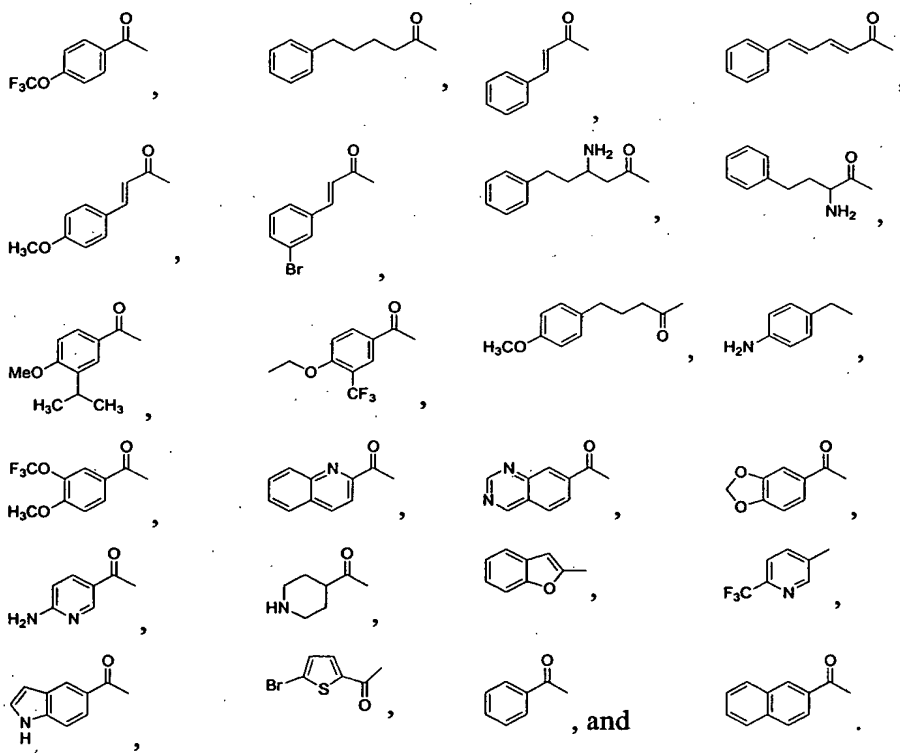
- (1) H,
- (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (3) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with aryl,
- (4) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heterocyclyl, and

(5) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heteroaryl,  
or R<sup>1L</sup> and R<sup>3L</sup>, together with the atoms to which they are attached can form a substituted or  
unsubstituted heterocyclic ring, having from 3 to 8 ring atoms, wherein 1-2 ring atoms of the  
heterocyclic ring system are selected from N, O and S.

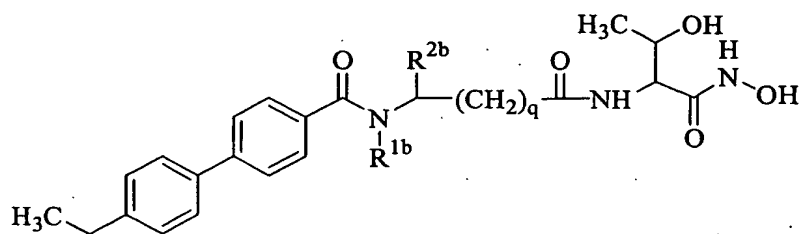
12. A compound of claim 1, having the formula XI:



or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein  
Y-X taken together, is selected from the group consisting of



13. A compound of claim 1, having the formula XII:



XII

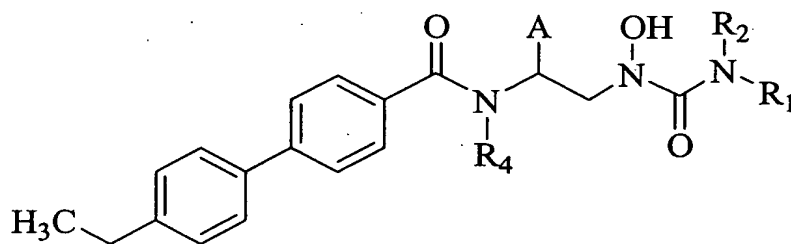
or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein

$R^{1b}$  and  $R^{2b}$  are independently selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted  $C_1$ - $C_6$ -alkyl,
- (3) substituted or unsubstituted  $C_2$ - $C_6$ -alkenyl,
- (4) substituted or unsubstituted  $C_2$ - $C_6$ -alkenyl,
- (5) substituted or unsubstituted aryl,
- (6) substituted or unsubstituted heterocyclyl,
- (7) substituted or unsubstituted heteroaryl,
- (8)  $C_1$ - $C_6$ -alkyl substituted with aryl,
- (9)  $C_1$ - $C_6$ -alkyl substituted with heterocyclyl, and
- (10)  $C_1$ - $C_6$ -alkyl substituted with heteroaryl;

$q$  is an integer of 0-2;

14. A compound of claim 1, having the formula XIII:



XIII

or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein

$R_4$  is selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted  $C_1$ - $C_6$ -alkyl,
- (3)  $C_1$ - $C_6$ -alkyl substituted with aryl,
- (4)  $C_1$ - $C_6$ -alkyl substituted with heterocyclyl, and

(5) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heteroaryl;

A is H or -CH(CH<sub>3</sub>)OH-;

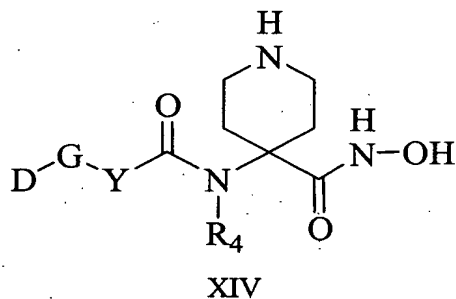
R<sub>1</sub> is H or substituted or unsubstituted C<sub>1-6</sub>-alkyl;

R<sub>2</sub> is selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted C<sub>1</sub>-C<sub>6</sub>-alkyl,
- (3) substituted or unsubstituted aryl,
- (4) substituted or unsubstituted heterocyclyl,
- (5) substituted or unsubstituted heteroaryl,
- (6) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with aryl,
- (7) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heterocyclyl,
- (8) C<sub>1</sub>-C<sub>6</sub>-alkyl substituted with heteroaryl,

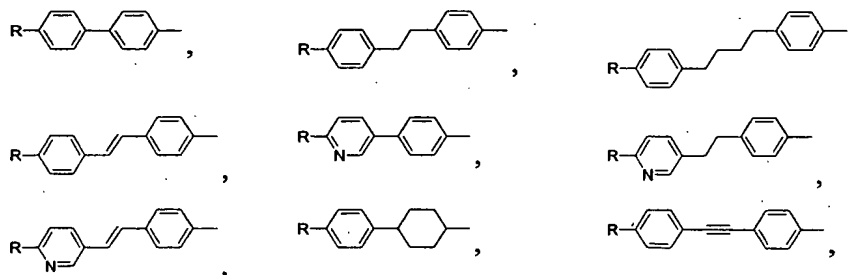
or R<sup>1</sup> and R<sup>2</sup> together with the N atom to which they are attached can form a substituted or unsubstituted heterocyclic ring, having from 3 to 10 ring atoms, wherein 1-2 ring atoms of the heterocyclic ring system are selected from N, O and S.

15. A compound of claim 1, having the formula XIV:

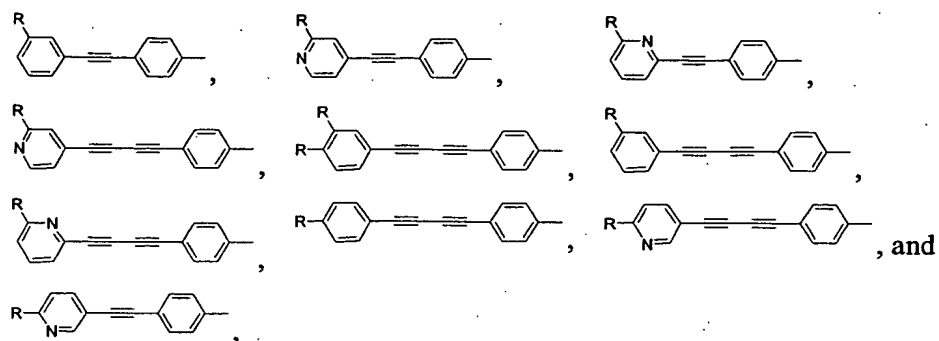


or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein

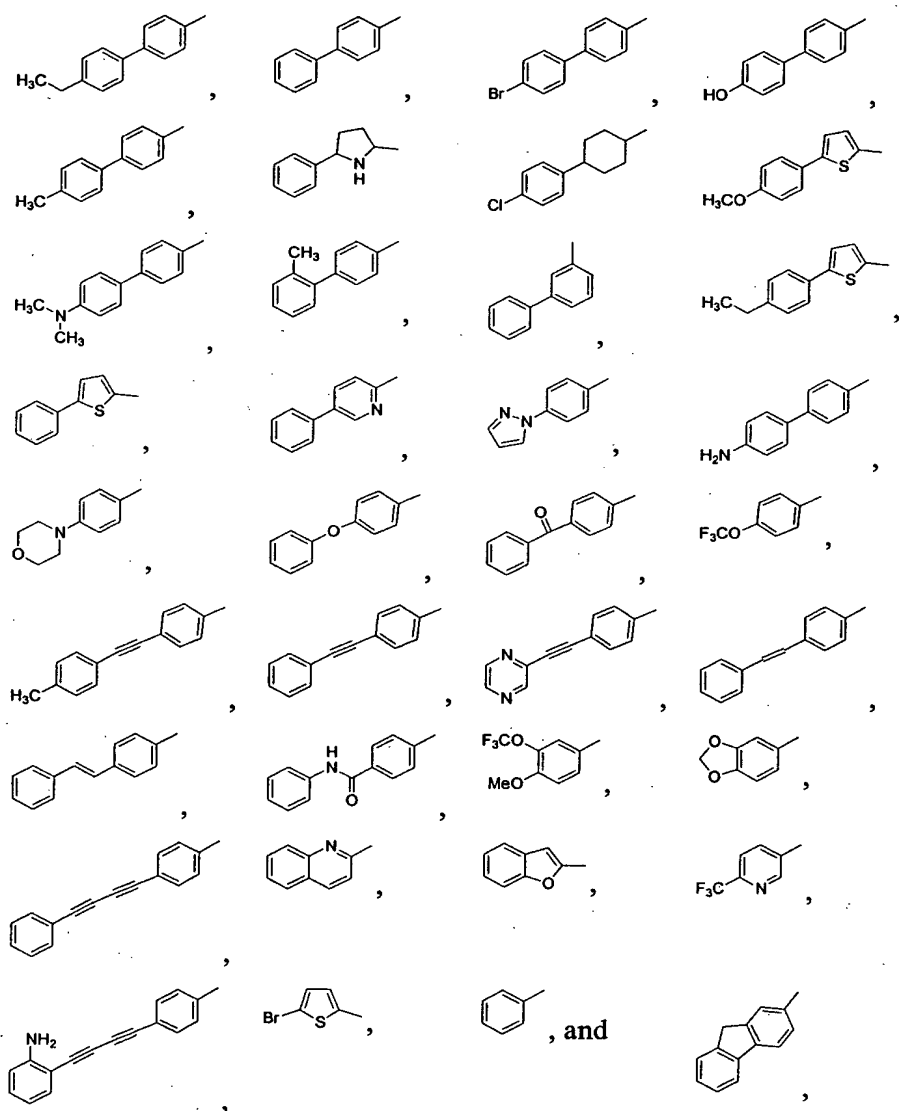
D-G-Y taken together is selected from the group consisting of







or



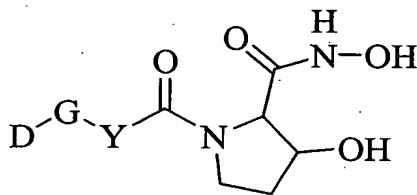
wherein

R is selected from the group consisting of  $-\text{CH}_3$ ,  $-\text{C}_2\text{H}_5$ ,  $-\text{CH}_2\text{OH}$ ,  $-\text{OH}$ ,  $-\text{OCH}_3$ ,  $-\text{OC}_2\text{H}_5$ ,  $-\text{OCF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{CO}_2\text{CH}_3$ ,  $-\text{CONH}_2$ ,  $-\text{NH}_2$ ,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{CF}_3$ ,  $-\text{N}(\text{CH}_3)_2$ ,  $-\text{NHSO}_2\text{CH}_3$ , and  $-\text{NHCOCH}_3$ ;

$\text{R}_4$  is selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted  $\text{C}_1\text{-C}_6$ -alkyl,
- (3)  $\text{C}_1\text{-C}_6$ -alkyl substituted with aryl,
- (4)  $\text{C}_1\text{-C}_6$ -alkyl substituted with heterocyclyl, and
- (5)  $\text{C}_1\text{-C}_6$ -alkyl substituted with heteroaryl.

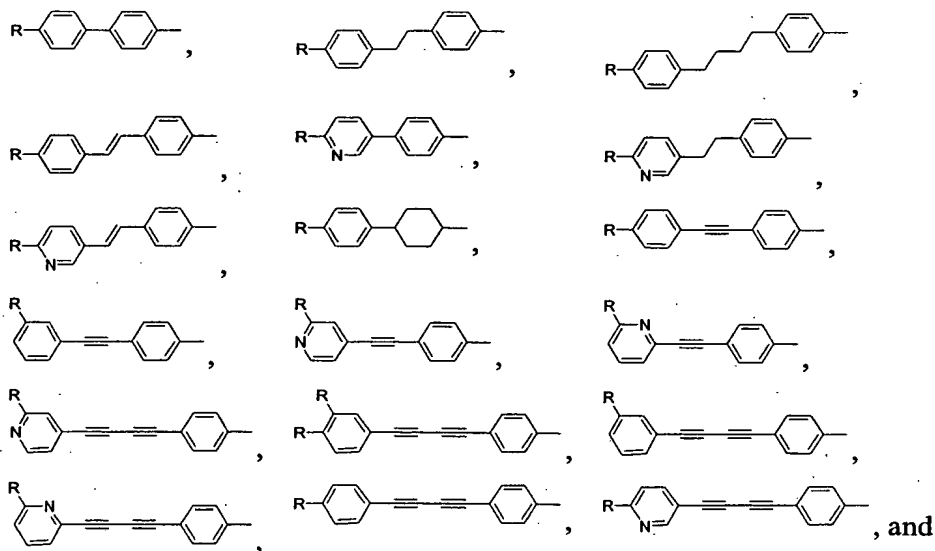
16. A compound of claim 1, having the formula XV:

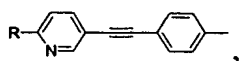


XV

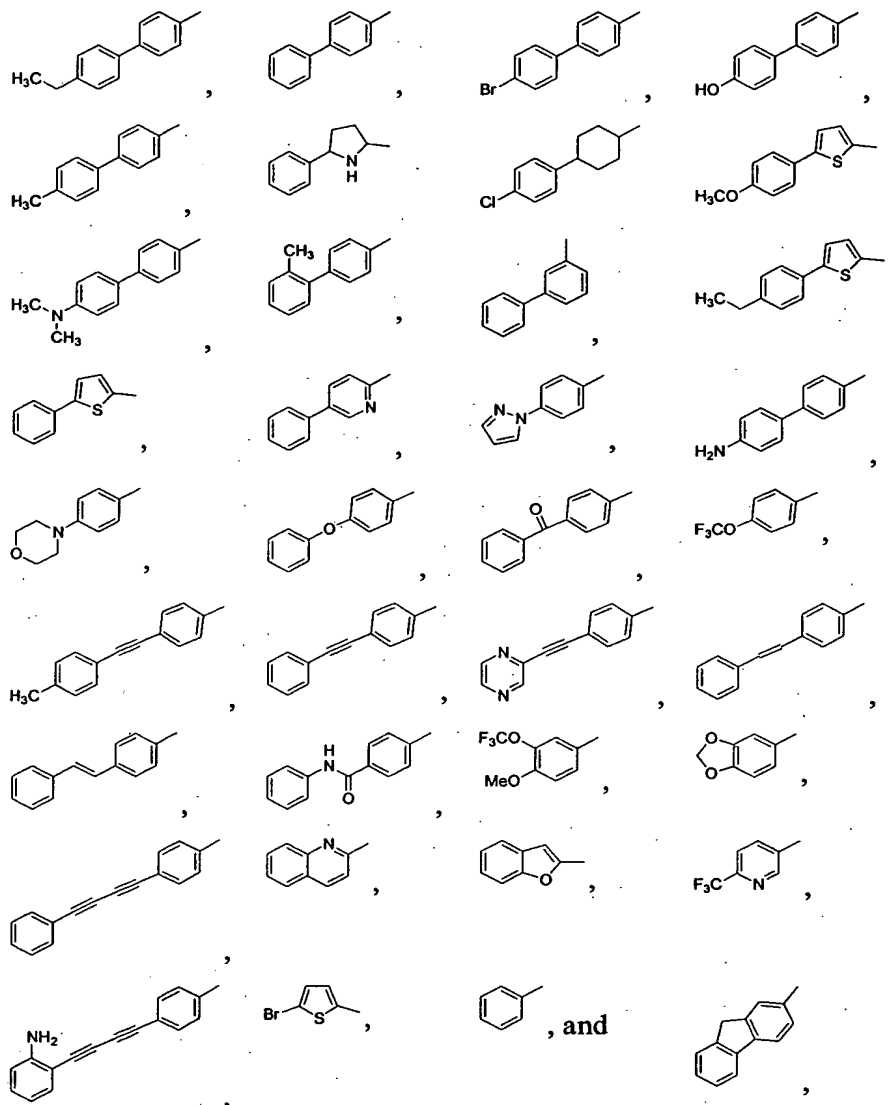
or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein

D-G-Y taken together, is selected from the group consisting of





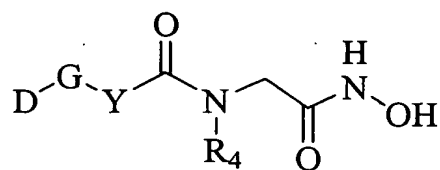
or



wherein

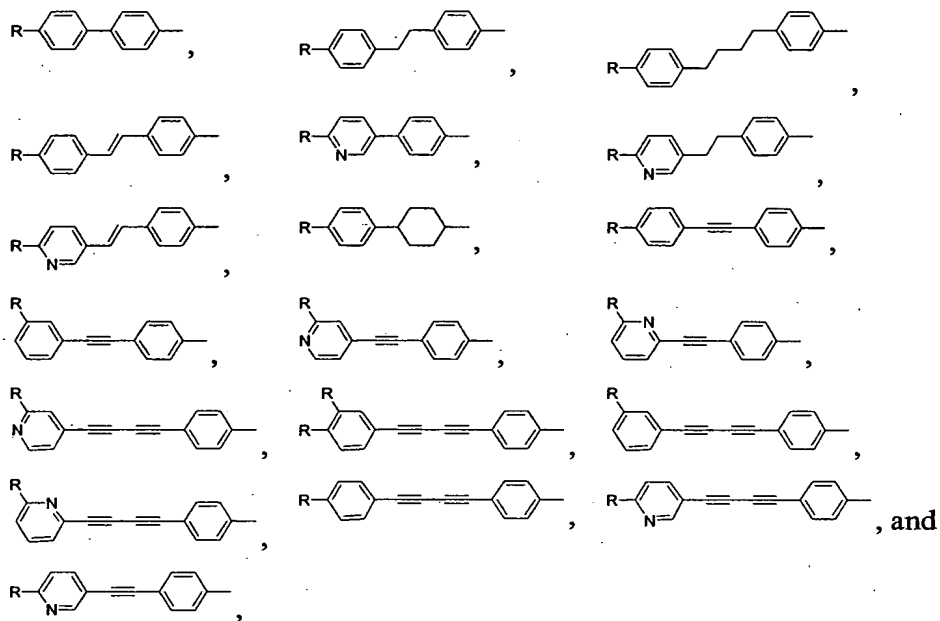
R is selected from the group consisting of  $-\text{CH}_3$ ,  $-\text{C}_2\text{H}_5$ ,  $-\text{CH}_2\text{OH}$ ,  $-\text{OH}$ ,  $-\text{OCH}_3$ ,  $-\text{OC}_2\text{H}_5$ ,  $-\text{OCF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{CO}_2\text{CH}_3$ ,  $-\text{CONH}_2$ ,  $-\text{NH}_2$ ,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{CF}_3$ ,  $-\text{N}(\text{CH}_3)_2$ ,  $-\text{NHSO}_2\text{CH}_3$ , and  $-\text{NHCOCH}_3$ ;

17. A compound of claim 1, having the formula XVI:

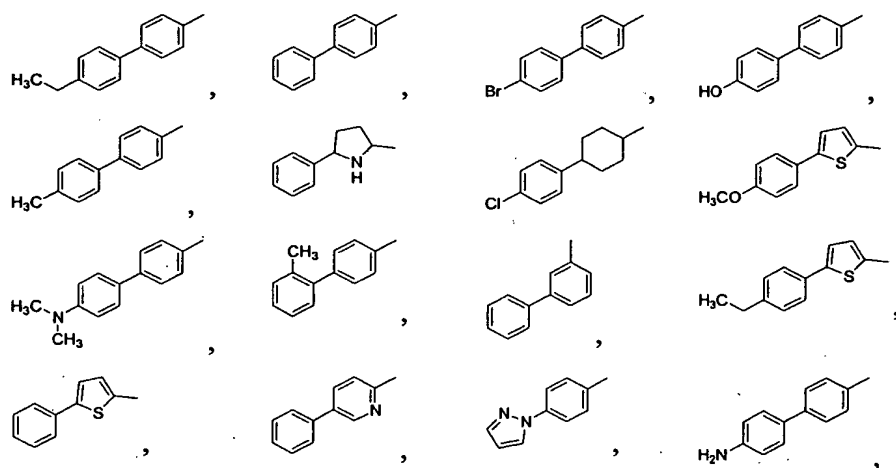


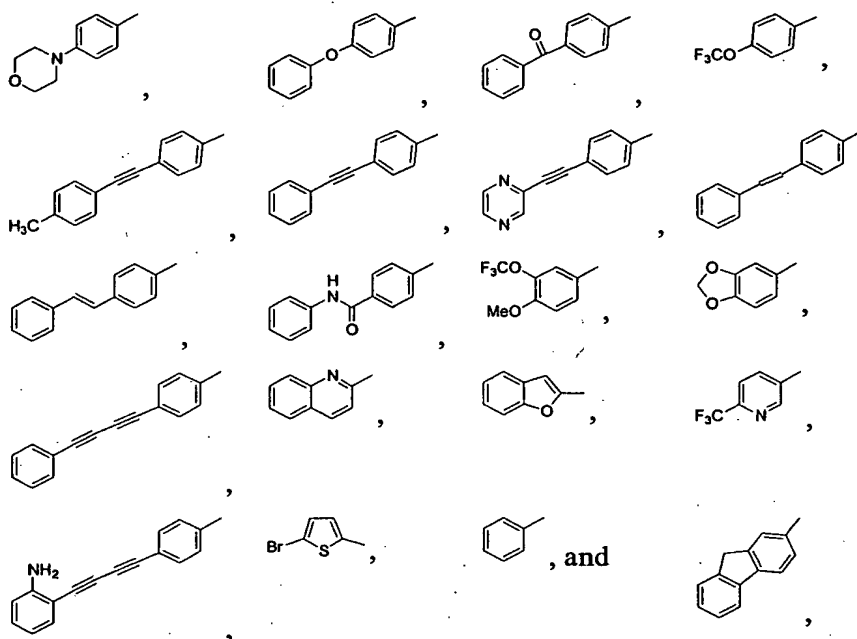
XVI

or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein D-G-Y taken together, is selected from the group consisting of



**or**





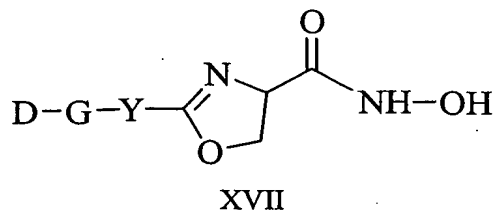
wherein

R is selected from the group consisting of  $-\text{CH}_3$ ,  $-\text{C}_2\text{H}_5$ ,  $-\text{CH}_2\text{OH}$ ,  $-\text{OH}$ ,  $-\text{OCH}_3$ ,  $-\text{OC}_2\text{H}_5$ ,  $-\text{OCF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{CO}_2\text{CH}_3$ ,  $-\text{CONH}_2$ ,  $-\text{NH}_2$ ,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{CF}_3$ ,  $-\text{N}(\text{CH}_3)_2$ ,  $-\text{NHSO}_2\text{CH}_3$ , and  $-\text{NHCOCH}_3$ ;

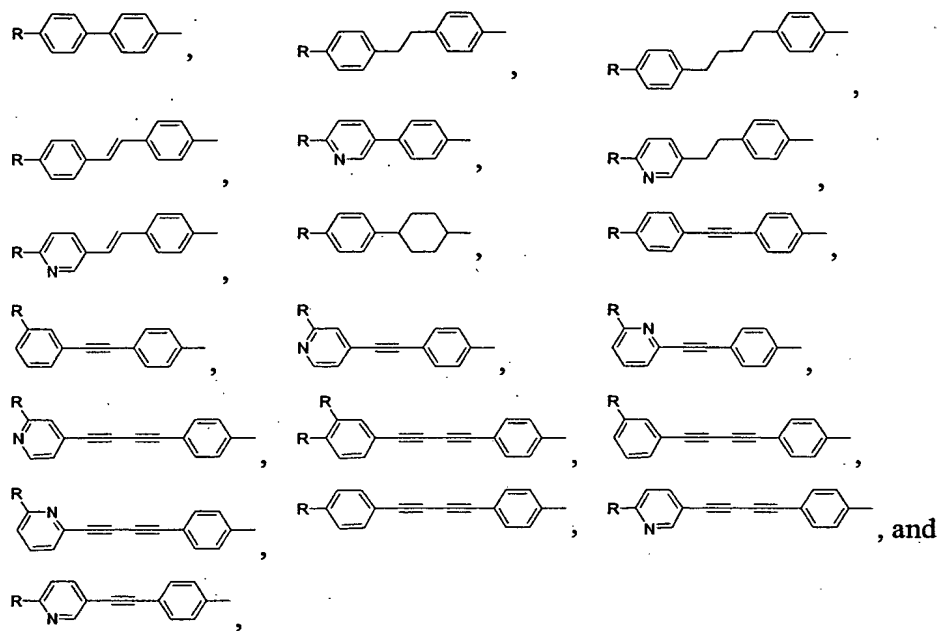
$\text{R}_4$  is selected from the group consisting of

- (1) H,
- (2) substituted or unsubstituted  $\text{C}_1$ - $\text{C}_6$ -alkyl,
- (3)  $\text{C}_1$ - $\text{C}_6$ -alkyl substituted with aryl,
- (4)  $\text{C}_1$ - $\text{C}_6$ -alkyl substituted with heterocyclyl, and
- (5)  $\text{C}_1$ - $\text{C}_6$ -alkyl substituted with heteroaryl;

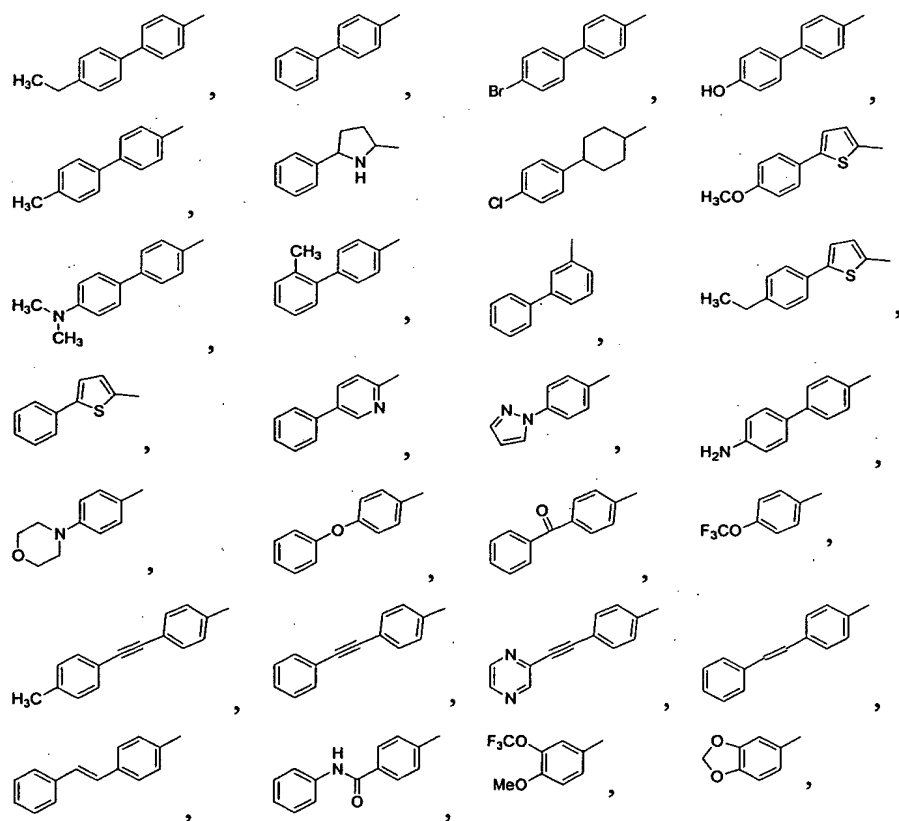
18. A compound of claim 1, having the formula XVII:

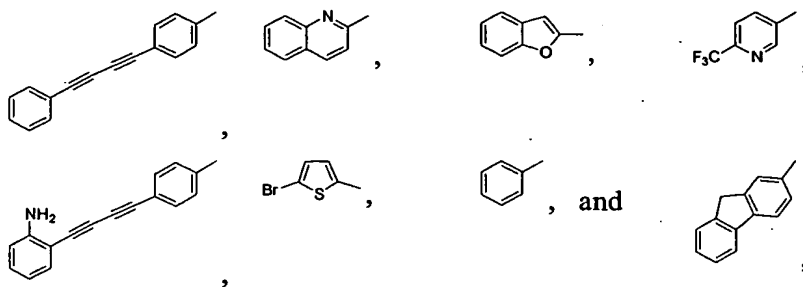


or a stereoisomer, pharmaceutically acceptable salt, ester, or prodrug thereof, wherein D-G-Y taken together, is selected from the group consisting of



OR





wherein

R is selected from the group consisting of  $-\text{CH}_3$ ,  $-\text{C}_2\text{H}_5$ ,  $-\text{CH}_2\text{OH}$ ,  $-\text{OH}$ ,  $-\text{OCH}_3$ ,  $-\text{OC}_2\text{H}_5$ ,  $-\text{OCF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{CO}_2\text{CH}_3$ ,  $-\text{CONH}_2$ ,  $-\text{NH}_2$ ,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{CF}_3$ ,  $-\text{N}(\text{CH}_3)_2$ ,  $-\text{NHSO}_2\text{CH}_3$ , and  $-\text{NHCOCH}_3$ .

19. A pharmaceutical composition comprising a compound from one of claims 1–18 and a pharmaceutically acceptable excipient.

20. A pharmaceutical composition comprising a compound from one of claims 1-18, a second agent, and a pharmaceutically acceptable excipient.

21. A method of treating a patient comprising administering to a patient in need thereof, an effective amount of a compound from one of claims 1-18.

22. A method of treating a patient comprising administering to a patient in need thereof, an effective amount of a compound from one of claims 1-18 and an effective amount of a second agent.

23. A method of treating an infection comprising administering to a patient in need thereof, an effective amount of a compound from one of claims 1-18.

24. A method of treating an infection comprising administering to a patient in need thereof, an effective amount of a compound from one of claims 1-18 and an effective amount of a second agent.